Small-molecule kinase inhibitors: an analysis of FDA-approved drugs - DTU Orbit
(09/09/2017)

Small-molecule kinase inhibitors: an analysis of FDA-approved drugs
Small-molecule kinase inhibitors (SMKIs), 28 of which are approved by the US Food and Drug Administration (FDA), have been actively pursued as promising targeted therapeutics. Here, we assess the key structural and physicochemical properties, target selectivity and mechanism of function, and therapeutic indications of these approved inhibitors. Our analysis showed that >30% of approved SMKIs have a molecule weight (MW) exceeding 500 and all have a total ring count of between three and five. The assumption that type II inhibitors tend to be more selective than type I inhibitors has been proved to be unreliable. Although previous SMKI research was concentrated on tyrosine kinase inhibitors for cancer treatment, recent progress indicates diversification of SMKI research in terms of new targets, mechanistic types, and therapeutic indications.

General information
State: Published
Organisations: Department of Chemistry, Organic Chemistry
Authors: Wu, P. (Intern), Nielsen, T. E. (Intern), Clausen, M. H. (Intern)
Number of pages: 6
Pages: 5–10
Publication date: 2016
Main Research Area: Technical/natural sciences

Publication information
Journal: Drug Discovery Today
Volume: 21
Issue number: 1
ISSN (Print): 1359-6446
Ratings:
BFI (2017): BFI-level 1
Web of Science (2017): Indexed Yes
BFI (2016): BFI-level 1
Scopus rating (2016): SJR 2.124 SNIP 1.7 CiteScore 6.11
Web of Science (2016): Indexed yes
BFI (2015): BFI-level 1
Scopus rating (2015): SJR 2.085 SNIP 1.596 CiteScore 5.62
Web of Science (2015): Indexed yes
BFI (2014): BFI-level 1
Scopus rating (2014): SJR 1.84 SNIP 1.61 CiteScore 5.61
BFI (2013): BFI-level 1
Scopus rating (2013): SJR 2.005 SNIP 1.843 CiteScore 6.04
ISI indexed (2013): ISI indexed yes
Web of Science (2013): Indexed yes
BFI (2012): BFI-level 1
Scopus rating (2012): SJR 1.951 SNIP 1.993 CiteScore 5.96
ISI indexed (2012): ISI indexed yes
BFI (2011): BFI-level 1
Scopus rating (2011): SJR 1.916 SNIP 1.988 CiteScore 6.05
ISI indexed (2011): ISI indexed yes
BFI (2010): BFI-level 1
Scopus rating (2010): SJR 1.992 SNIP 1.793
BFI (2009): BFI-level 1
Scopus rating (2009): SJR 1.947 SNIP 1.869
BFI (2008): BFI-level 2
Scopus rating (2008): SJR 1.955 SNIP 1.703
Scopus rating (2007): SJR 1.345 SNIP 1.564
Scopus rating (2006): SJR 1.229 SNIP 1.466
Scopus rating (2005): SJR 0.812 SNIP 1.359
Scopus rating (2004): SJR 0.793 SNIP 1.281
Scopus rating (2003): SJR 0.616 SNIP 1.153